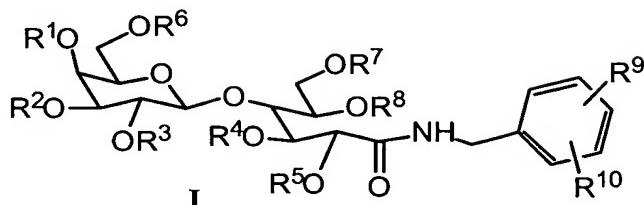


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**WHAT IS CLAIMED IS:**

1. A compound of formula I having the structure



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wherein

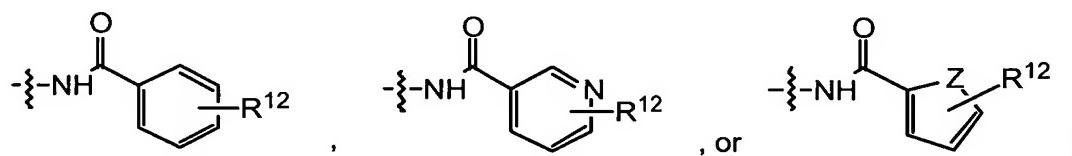
R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, and R<sup>8</sup> are each, independently, acyl of 2-7 carbon atoms,

haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, benzoyl, or -SO<sub>3</sub>H;

10 R<sup>9</sup> is hydrogen, CN, NO<sub>2</sub>, halo, CF<sub>3</sub>, alkyl of 1-6 carbon atoms, or alkoxy of 1-6 carbon atoms;

R<sup>10</sup> is hydrogen, -NO<sub>2</sub>, -NHR<sup>11</sup>, -NHR<sup>13</sup>, -N(R<sup>13</sup>)<sub>2</sub>, -NCH<sub>3</sub>R<sup>13</sup>, -NHCO<sub>2</sub>alkyl, wherein the alkyl moiety contains 1-6 carbon atoms, alkylsulfonamide of 1 to 4 carbon atoms,

15



Z is O or S;

R<sup>11</sup> is an α-amino acid in which the α carboxyl group forms an amide with the nitrogen of R<sup>10</sup>, wherein if said amino acid is glutamic acid or aspartic acid,

20 the non-α carboxylic acid is an alkyl ester in which the alkyl moiety contains from 1-6 carbon atoms;

R<sup>12</sup> is hydrogen, CN, NO<sub>2</sub>, halo, CF<sub>3</sub>, alkyl of 1-6 carbon atoms, alkoxy of 1-6 carbon atoms, acyl of 2-7 carbon atoms, or benzoyl;

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$R^{13}$  is hydrogen, acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, or benzoyl;  
or a pharmaceutically acceptable salt thereof.

5

2. The compound according to claim 1, wherein  
 $R^1, R^2, R^3, R^4, R^5, R^6, R^7$ , and  $R^8$  are each, independently, acyl of 2-7 carbon atoms or  
 $-SO_3H$ ;

$Z$  is O;

10 or a pharmaceutically acceptable salt thereof.

3. The compound according to claim 2, wherein

$R^1, R^2, R^3, R^4, R^5, R^6, R^7$ , and  $R^8$  are each, independently, acetyl or  $-SO_3H$ ;

$R^{10}$  is hydrogen,  $-NO_2$ ,  $-NHR^{13}$ ,  $-N(R^{13})_2$ ,

15  $R^{13}$  is hydrogen, or acyl of 2-7 carbon atoms;  
or a pharmaceutically acceptable salt thereof.

4. The compound of claim 1 which is:

20 a)  $N$ -Benzyl-octa- $O$ -acetyl-lactobionamide or a pharmaceutically acceptable salt thereof;

b)  $N$ -Benzyl-octa- $O$ -sulfo-lactobionamide or a pharmaceutically acceptable salt thereof;

25 c)  $N$ -(4-Nitro-benzyl)-octa- $O$ -acetyl-lactobionamide or a pharmaceutically acceptable salt thereof;

d)  $N$ -(4-Amino-benzyl)-octa- $O$ -acetyl-lactobionamide or a pharmaceutically acceptable salt thereof;

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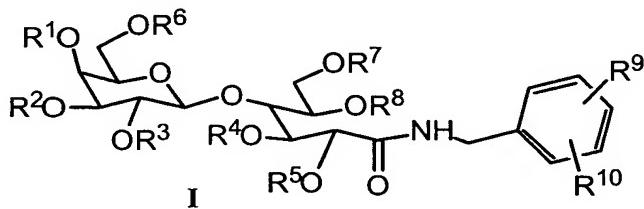
e) *N*-(3-Amino-benzyl)-octa-*O*-acetyl-lactobionamide or a pharmaceutically acceptable salt thereof;

5 f) *N*-[3-(Acetylamino)-benzyl]-octa-*O*-acetyl-lactobionamide or a pharmaceutically acceptable salt thereof; or

10 g) *N*-[3-(Acetylamino)-benzyl]-octa-*O*-sulfo-lactobionamide or a pharmaceutically acceptable salt thereof.

15 5. A method of treating or inhibiting hyperproliferative vascular disorders in a mammal in need thereof, which comprises administering to said mammal an effective amount of a compound of formula I having the structure

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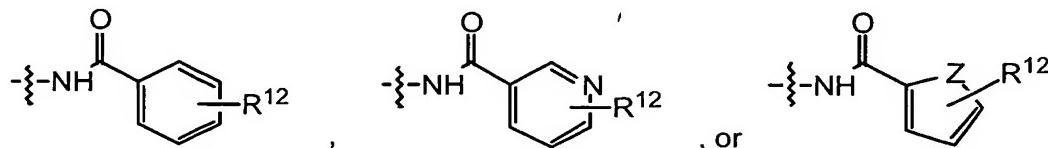


wherein

R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, and R<sup>8</sup> are each, independently, acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, benzoyl, or -SO<sub>3</sub>H;

20 R<sup>9</sup> is hydrogen, CN, NO<sub>2</sub>, halo, CF<sub>3</sub>, alkyl of 1-6 carbon atoms, or alkoxy of 1-6 carbon atoms;

25 R<sup>10</sup> is hydrogen, -NO<sub>2</sub>, -NHR<sup>11</sup>, -NHR<sup>13</sup>, -N(R<sup>13</sup>)<sub>2</sub>, -NCH<sub>3</sub>R<sup>13</sup>, -NHCO<sub>2</sub>alkyl, wherein the alkyl moiety contains 1-6 carbon atoms, alkylsulfonamide of 1 to 4 carbon atoms,



Z is O or S;

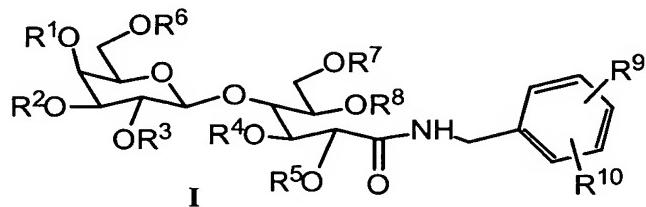
R<sup>11</sup> is an  $\alpha$ -amino acid in which the  $\alpha$  carboxyl group forms an amide with the nitrogen of R<sup>10</sup>, wherein if said amino acid is glutamic acid or aspartic acid, 5 the non- $\alpha$  carboxylic acid is an alkyl ester in which the alkyl moiety contains from 1-6 carbon atoms;

R<sup>12</sup> is hydrogen, CN, NO<sub>2</sub>, halo, CF<sub>3</sub>, alkyl of 1-6 carbon atoms, alkoxy of 1-6 carbon atoms, acyl of 2-7 carbon atoms, or benzoyl;

R<sup>13</sup> is hydrogen, acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 10 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, or benzoyl;

or a pharmaceutically acceptable salt thereof.

6. A method of treating or inhibiting restenosis in a mammal in need thereof, 15 which comprises administering to said mammal an effective amount of a compound of formula I having the structure



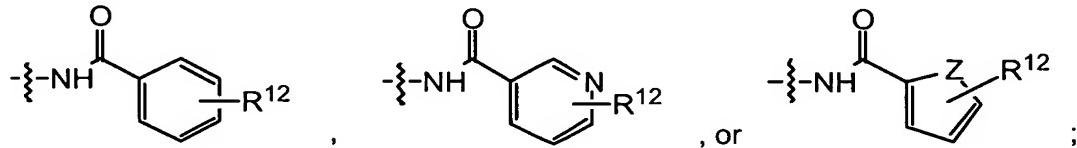
20 wherein

R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, and R<sup>8</sup> are each, independently, acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, benzoyl, or -SO<sub>3</sub>H;

R<sup>9</sup> is hydrogen, CN, NO<sub>2</sub>, halo, CF<sub>3</sub>, alkyl of 1-6 carbon atoms, or alkoxy of 1-6 25 carbon atoms;

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R<sup>10</sup> is hydrogen, -NO<sub>2</sub>, -NHR<sup>11</sup>, -NHR<sup>13</sup>, -N(R<sup>13</sup>)<sub>2</sub>, -NCH<sub>3</sub>R<sup>13</sup>, -NHCO<sub>2</sub>alkyl, wherein the alkyl moiety contains 1-6 carbon atoms, alkylsulfonamide of 1 to 4 carbon atoms,



5

Z is O or S;

R<sup>11</sup> is an  $\alpha$ -amino acid in which the  $\alpha$  carboxyl group forms an amide with the nitrogen of R<sup>10</sup>, wherein if said amino acid is glutamic acid or aspartic acid, the non- $\alpha$  carboxylic acid is an alkyl ester in which the alkyl moiety contains from 1-6 carbon atoms;

R<sup>12</sup> is hydrogen, CN, NO<sub>2</sub>, halo, CF<sub>3</sub>, alkyl of 1-6 carbon atoms, alkoxy of 1-6 carbon atoms, acyl of 2-7 carbon atoms, or benzoyl;

R<sup>13</sup> is hydrogen, acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, or benzoyl;

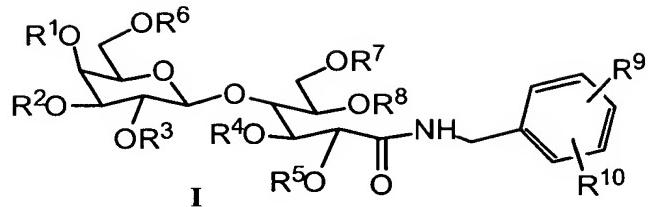
15 or a pharmaceutically acceptable salt thereof.

7. The method according to claim 6, wherein the restenosis results from a vascular angioplasty procedure, vascular reconstructive surgery, or organ or tissue 20 transplantation.

8. A method of inhibiting angiogenesis in a malignant tumor, sarcoma, or neoplastic tissue in a mammal in need thereof, which comprises administering to said mammal an effective amount of a compound of formula I having the structure

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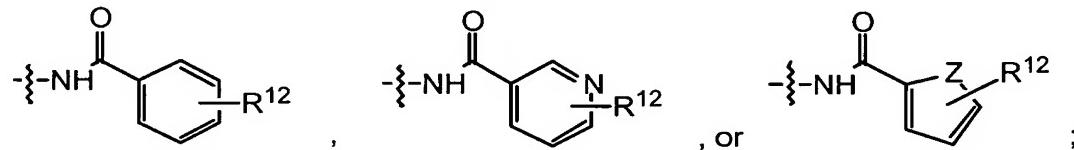


wherein

R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, and R<sup>8</sup> are each, independently, acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7

5 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, benzoyl, or -SO<sub>3</sub>H;  
R<sup>9</sup> is hydrogen, CN, NO<sub>2</sub>, halo, CF<sub>3</sub>, alkyl of 1-6 carbon atoms, or alkoxy of 1-6  
carbon atoms;

R<sup>10</sup> is hydrogen, -NO<sub>2</sub>, -NHR<sup>11</sup>, -NHR<sup>13</sup>, -N(R<sup>13</sup>)<sub>2</sub>, -NCH<sub>3</sub>R<sup>13</sup>, -NHCO<sub>2</sub>alkyl, wherein  
the alkyl moiety contains 1-6 carbon atoms, alkylsulfonamide of 1 to 4 carbon  
10 atoms,



Z is O or S;

R<sup>11</sup> is an  $\alpha$ -amino acid in which the  $\alpha$  carboxyl group forms an amide with the  
15 nitrogen of R<sup>10</sup>, wherein if said amino acid is glutamic acid or aspartic acid,  
the non- $\alpha$  carboxylic acid is an alkyl ester in which the alkyl moiety contains  
from 1-6 carbon atoms;

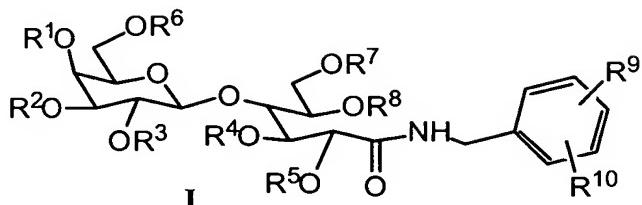
R<sup>12</sup> is hydrogen, CN, NO<sub>2</sub>, halo, CF<sub>3</sub>, alkyl of 1-6 carbon atoms, alkoxy of 1-6 carbon  
atoms, acyl of 2-7 carbon atoms, or benzoyl;

20 R<sup>13</sup> is hydrogen, acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of  
2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8  
carbon atoms, or benzoyl;

or a pharmaceutically acceptable salt thereof.

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9. A pharmaceutical composition which comprises a compound of formula I having the structure



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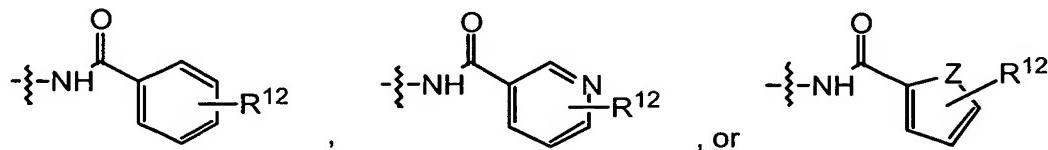
wherein

R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, and R<sup>8</sup> are each, independently, acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, benzoyl, or -SO<sub>3</sub>H;

10 R<sup>9</sup> is hydrogen, CN, NO<sub>2</sub>, halo, CF<sub>3</sub>, alkyl of 1-6 carbon atoms, or alkoxy of 1-6 carbon atoms;

R<sup>10</sup> is hydrogen, -NO<sub>2</sub>, -NHR<sup>11</sup>, -NHR<sup>13</sup>, -N(R<sup>13</sup>)<sub>2</sub>, -NCH<sub>3</sub>R<sup>13</sup>, -NHCO<sub>2</sub>alkyl, wherein the alkyl moiety contains 1-6 carbon atoms, alkylsulfonamide of 1 to 4 carbon atoms,

15



Z is O or S;

R<sup>11</sup> is an  $\alpha$ -amino acid in which the  $\alpha$  carboxyl group forms an amide with the nitrogen of R<sup>10</sup>, wherein if said amino acid is glutamic acid or aspartic acid,

20 the non- $\alpha$  carboxylic acid is an alkyl ester in which the alkyl moiety contains from 1-6 carbon atoms;

R<sup>12</sup> is hydrogen, CN, NO<sub>2</sub>, halo, CF<sub>3</sub>, alkyl of 1-6 carbon atoms, alkoxy of 1-6 carbon atoms, acyl of 2-7 carbon atoms, or benzoyl;

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R<sup>13</sup> is hydrogen, acyl of 2-7 carbon atoms, haloacyl of 2-7 carbon atoms, nitroacyl of 2-7 carbon atoms, cyanoacyl of 2-7 carbon atoms, trifluoromethylacyl of 3-8 carbon atoms, or benzoyl;  
or a pharmaceutically acceptable salt thereof or a pharmaceutically acceptable salt thereof, and a pharmaceutical carrier.